

### In the Specification

Please substitute the following paragraph for the second paragraph on page 20 of the specification.

Page 20, paragraph 2 (AMENDED)

131 As the "substituent" in the "benzene ring optionally having a substituent" for ring A in the formulae (I) and (I'), used are, for example, (i) an optionally halogenated lower alkyl group, (ii) a halogen atom (e.g., fluorine, chlorine, bromine, iodine and the like), (iii) nitro group, (iv) cyano group, (v) hydroxy group, (vi) an optionally halogenated lower alkoxy group, (vii) amino group, (viii) a mono-lower alkylamino group (e.g., a mono-C<sub>1-6</sub> alkylamino group and the like such as methylamino, ethylamino, propylamino and the like), (ix) a di-lower alkylamino group (e.g., a di-lower alkylamino group and the like such as dimethylamino, diethylamino and the like), (x) a 5 to 7 membered cyclic amino group optionally having 1 to 3 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom in addition to one nitrogen atom (e.g., pyrrolidino, piperidino, piperazino, morpholino, thiomorpholino and the like), (xi) a lower alkyl-carbonylamino group (e.g., a C<sub>1-6</sub> alkyl-carbonylamino and the like such as acetylamino, propionylamino, butyrylamino and the like), (xii) aminocarbonyloxy group, (xiii) a mono-lower alkylamino-carbonyloxy group (e.g., a mono-C<sub>1-6</sub> alkylamino-carbonyloxy group and the like such as methylaminocarbonyloxy, ethylaminocarbonyloxy group), (xiv) a di-lower alkylamino-carbonyloxy group (e.g., a di-C<sub>1-6</sub> alkylaminocarbonyloxy group and the like such as dimethylaminocarbonyloxy, diethylaminocarbonyloxy and the like), (xv) a lower alkylsulfonylamino group (e.g., a C<sub>1-6</sub> alkylsulfonylamino group and the like such as methylsulfonylamino, ethylsulfonylamino, propylsulfonylamino and the like), (xvi) a lower alkoxy-carbonyl group (e.g., a C<sub>1-6</sub> alkoxy-carbonyl group and the like such as methoxycarbonyl,

ethoxycarbonyl, propoxycarbonyl, isobutoxycarbonyl and the like), (xvii) carboxy group, (xviii) a lower alkyl-carbonyl group (e.g., a C<sub>1-6</sub> alkyl-carbonyl group and the like such as methylcarbonyl, ethylcarbonyl, butylcarbonyl and the like), (xix) carbamoyl group, (xx) a mono-lower alkyl-carbamoyl group (e.g., a mono-C<sub>1-6</sub> alkyl-carbamoyl group and the like such as methylcarbamoyl, ethylcarbamoyl, propylcarbamoyl, butylcarbamoyl and the like), (xxi) a di-lower alkyl-carbamoyl group (e.g., a di-C<sub>1-6</sub> alkyl-carbamoyl group and the like such as diethylcarbamoyl, dibutylcarbamoyl and the like), (xxii) a lower alkyl-thiocarbonyl group (e.g., a C<sub>1-6</sub> alkyl-thiocarbonyl group such as methylthiocarbonyl, ethylthiocarbonyl, butylthiocarbonyl and the like), (xxiii) thiocarbamoyl group, (xxiv) a mono-lower alkyl-thiocarbamoyl group (e.g., a mono-C<sub>1-6</sub> alkyl-thiocarbamoyl group and the like such as methylthiocarbamoyl, ethylthiocarbamoyl, propylthiocarbamoyl, butylthiocarbamoyl and the like), (xxv) a di-lower alkyl-thiocarbamoyl group (e.g., a di-C<sub>1-6</sub> alkyl-thiocarbamoyl group and the like such as diethylthiocarbamoyl, dibutylthiocarbamoyl and the like), (xxvi) phenyl group [the (xxvi) phenyl group may have further 1 to 4 substituents, for example, selected from a lower alkyl (e.g., a C<sub>1-6</sub> alkyl such as methyl, ethyl, propyl, isopropyl, butyl, sec-butyl, tert-butyl, pentyl, hexyl and the like), a lower alkoxy (e.g., a C<sub>1-6</sub> alkoxy such as methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy and the like), halogen (e.g., fluorine, chlorine, bromine, iodine and the like), hydroxy, amino, a mono-lower alkylamino (e.g., a mono-C<sub>1-6</sub> alkylamino and the like such as methylamino, ethylamino, propylamino and the like), a di-lower alkylamino (e.g., a di-C<sub>1-6</sub> alkylamino and the like such as dimethylamino, diethylamino and the like), nitro, a lower alkyl-carbonyl (e.g., a C<sub>1-6</sub> alkyl-carbonyl and the like such as methylcarbonyl, ethylcarbonyl, butylcarbonyl and the like)].

Please substitute the following paragraph for the third paragraph on page 24 of the specification.

Page 24, paragraph 3 (AMENDED)

*B<sup>2</sup>* As the "substituent" in the "hydrocarbon group optionally having a substituent" for R<sup>1</sup> and R<sup>2</sup>, used are one to five (preferably one to three) substituents selected from (i) a halogen atom (e.g., fluorine, chlorine, bromine, iodine and the like), (ii) nitro group, (iii) cyano group, (iv) oxo group, (v) hydroxy group, (vi) an optionally halogenated lower(C<sub>1-6</sub>)alkyl group (e.g., methyl, ethyl, propyl, isopropyl, butyl, isobutyl, tert-butyl, sec-butyl, trifluoromethyl, trichloromethyl and the like), (vii) an optionally halogenated lower(C<sub>1-6</sub>)alkoxy group (e.g., methoxy, ethoxy, n-propyloxy, i-propyloxy, n-butyloxy, trifluoromethoxy, trichloromethoxy and the like), (viii) an optionally halogenated lower(C<sub>1-6</sub>)alkylthio group (e.g., methylthio, ethylthio, propylthio, trifluoromethylthio and the like), (ix) amino group, (x) a mono-lower alkylamino group (e.g., a mono-C<sub>1-6</sub> alkylamino group and the like such as methylamino, ethylamino, propylamino and the like), (xi) a di-lower alkylamino group (e.g., a di-C<sub>1-6</sub> alkylamino group and the like such as dimethylamino, diethylamino and the like), (xii) a 5 to 7 membered cyclic amino group optionally having 1 to 3 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom in addition to carbon atoms and one nitrogen atom (e.g., pyrrolidino, piperidino, piperazino, morpholino, thiomorpholino and the like), (xiii) a lower alkyl-carbonylamino group (e.g., a C<sub>1-6</sub> alkyl-carbonylamino group and the like such as acetylamino, propionylamino, butyrylamino and the like), (xiv) a lower alkylsulfonylamino group (e.g., a C<sub>1-6</sub> alkyl-carbonylamino group and the like such as methylsulfonylamino, ethylsulfonylamino and the like), (xv) a lower alkoxy-carbonyl group (e.g., a C<sub>1-6</sub> alkoxy-carbonyl group and the like such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl and the like), (xvi) carboxyl group, (xvii) a lower alkyl-carbonyl group (e.g., a C<sub>1-6</sub> alkyl-carbonyl group and the like such as methylcarbonyl, ethylcarbonyl, propylcarbonyl and the like), (xviii) carbamoyl group, (xix) a

mono-lower alkyl-carbamoyl group (e.g., a mono-C<sub>1-6</sub> alkyl-carbamoyl group and the like such as methylcarbamoyl, ethylcarbamoyl and the like), (xx) a di-lower alkyl-carbamoyl group (e.g., a di-C<sub>1-6</sub> alkyl-carbamoyl group and the like such as dimethylcarbamoyl, diethylcarbamoyl and the like), (xxi) a lower alkyl sulfonyl group (e.g., a C<sub>1-6</sub> alkylsulfonyl group and the like such as methylsulfonyl, ethylsulfonyl, propylsulfonyl and the like), (xxii) a lower alkoxy-carbonyl-lower alkyl group (e.g., a C<sub>1-6</sub> alkoxy-carbonyl-C<sub>1-6</sub> alkyl group and the like such as methoxycarbonylmethyl, ethoxycarbonylmethyl, tert-butoxycarbonylmethyl, methoxycarbonylethyl, methoxycarbonyl(dimethyl)methyl, ethoxycarbonyl(dimethyl)methyl, tert-butoxycarbonyl(dimethyl)methyl and the like), (xxiii) a carboxyl-lower alkyl group (e.g., a carboxyl-C<sub>1-6</sub> alkyl group and the like such as carboxylmethyl, carboxylethyl, carboxyl(dimethyl)methyl and the like), (xxiv) a heterocyclic group optionally having a substituent, (xxv) a C<sub>6-14</sub> aryl group (e.g., phenyl, naphthyl and the like), (xxvi) a C<sub>7-16</sub> aralkyl group (e.g., benzyl and the like), ureido group optionally having a substituent (e.g., ureido, 3-methylureido, 3-ethylureido, 3-phenylureido, 3-(4-fluorophenyl)ureido, 3-(2-methylphenyl)ureido, 3-(4-methoxyphenyl)ureido, 3-(2,4-difluorophenyl)ureido, 3-[3,5-bis(trifluoromethyl)phenyl]ureido, 3-benzylureido, 3-(1-naphthyl)ureido, 3-(2-biphenyl)ureido and the like), (xxviii) thioureido group optionally having a substituent (e.g., thioureido, 3-methylthioureido, 3-ethylthioureido, 3-phenylthioureido, 3-(4-fluorophenyl)thioureido, 3-(4-methylphenyl)thioureido, 3-(4-methoxyphenyl)thioureido, 3-(2,4-dichlorophenyl)thioureido, 3-benzylthioureido, 3-(1-naphthyl)thioureido and the like), (xxix) amidino group optionally having a substituent (e.g., amidino, N<sup>1</sup>-methylamidino, N<sup>1</sup>-ethylamidino, N<sup>1</sup>-phenylamidino, N<sup>1</sup>,N<sup>1</sup>-dimethylamidino, N<sup>1</sup>,N<sup>2</sup>-dimethylamidino, N<sup>1</sup>-methyl-N<sup>1</sup>-ethylamidino, N<sup>1</sup>,N<sup>1</sup>-diethylamidino, N<sup>1</sup>-methyl-N<sup>1</sup>-phenylamidino, N<sup>1</sup>,N<sup>1</sup>-di(4-nitrophenyl)amidino and the like), (xxxx) guanidino group optionally having a substituent (e.g., guanidino, 3-methylguanidino, 3,3-dimethylguanidino, 3,3-diethylguanidino and the like), (xxxi) a cyclic aminocarbonyl group

optionally having a substituent (e.g., pyrrolidinocarbonyl, piperidinocarbonyl, (4-methylpiperidino)carbonyl, (4-phenylpiperidino)carbonyl, (4-benzylpiperidino)carbonyl, (4-benzoylpiperidino)carbonyl, [4-(4-fluorobenzoyl)piperidino]carbonyl, (4-methylpiperazino)carbonyl, (4-phenylpiperazino)carbonyl, [4-(4-nitrophenyl)piperazino]carbonyl, (4-benzylpiperazino)carbonyl, morpholinocarbonyl, thiomorpholinocarbonyl and the like), (xxxii) aminothiocabonyl group optionally having a substituent (e.g., aminothiocabonyl, methylaminothiocabonyl, dimethylaminothiocabonyl and the like), (xxxiii) aminosulfonyl group optionally having a substituent (e.g., aminosulfonyl, methylaminosulfonyl, dimethylaminosulfonyl and the like), (xxxiv) phenylsulfonylamino group optionally having a substituent (e.g., phenylsulfonylamino, (4-methylphenyl)sulfonylamino, (4-chlorophenyl)sulfonylamino, (2,5-dichlorophenyl)sulfonylamino, (4-methoxyphenyl)sulfonylamino, (4-acetylaminophenyl)sulfonylamino, (4-nitrophenyl)phenylsulfonylamino and the like), (xxxv) sulfo group, (xxxvi) sulfino group, (xxxvii) sulfeno group, (xxxviii) a C<sub>1-6</sub> alkylsulfo group (e.g., methylsulfo, ethylsulfo, propylsulfo and the like), (xxxix) a C<sub>1-6</sub> alkylsulfino group (e.g., methylsulfino, ethylsulfino, propylsulfino and the like), (xxxx) a C<sub>1-6</sub> alkylsulfeno group (e.g., methylsulfeno, ethylsulfeno, propylsulfeno and the like), (xxxxi) phosphono group, (xxxxii) a di-C<sub>1-6</sub> alkoxyphosphoryl group (e.g., dimethoxyphosphoryl, diethoxyphosphoryl, dipropoxyphosphoryl and the like), (xxxxiii) a lower alkoxy-carbonyl-lower alkoxy group (e.g., a C<sub>1-6</sub> alkoxy-carbonyl-C<sub>1-6</sub> alkoxy and the like such as methoxycarbonylmethoxy, ethoxycarbonylmethoxy, tert-butoxycarbonylmethoxy, methoxycarbonylethoxy, methoxycarbonyl(dimethyl)methoxy, ethoxycarbonyl(dimethyl)methoxy, tert-butoxycarbonyl(dimethyl)methoxy and the like), (xxxxiv) a carboxyl-lower alkoxy group (e.g., a carboxyl-C<sub>1-6</sub> alkoxy group and the like such as carboxylmethoxy, carboxylethoxy, carboxyl(dimethyl)methoxy and the like), (xxxxv) a lower alkyl-thiocarbonyl group (e.g., a C<sub>1-6</sub> alkyl-thiocarbonyl group such as methylthiocarbonyl,

B2 ethylthiocarbonyl, butylthiocarbonyl and the like), (xxxxvi) thiocarbamoyl group, (xxxxvii) a mono-lower alkyl-thiocarbamoyl group (e.g., a mono-C<sub>1-6</sub> alkyl-thiocarbamoyl group and the like such as methylthiocarbamoyl, ethylthiocarbamoyl, propylthiocarbamoyl, butylthiocarbamoyl and the like), (xxxxviii) a di-lower alkyl-thiocarbamoyl group (e.g., a di-C<sub>1-6</sub> alkyl-thiocarbamoyl group and the like such as diethylthiocarbamoyl, dibutylthiocarbamoyl and the like) and the like.

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Please substitute the following paragraph for the fourth paragraph on page 28 of the specification.

Page 28, paragraph 4 (AMENDED)

B3  
Sub  
C1  
~~As a bicyclic heterocyclic group, for example, used is a group obtained by removing one~~  
hydrogen atom from a bicyclic hetero ring such as indole, dihydroindole, isoindole, dihydroisoindole, benzofuran, dihydrobenzofuran, benzimidazole, benzoxazole, benzisoxazole, benzothiazole, indazole, quinoline, tetrahydroquinoline, isoquinoline, tetrahydroisoquinoline, tetrahydro-1H-1-benzazepine, tetrahydro-1H-2-benzazepine, tetrahydro-1H-3-benzazepine, tetrahydrobenzoxazepine, quinazoline, tetrahydroquinazoline, quinoxaline, tetrahydroquinoxaline, benzodioxane, benzodioxole, benzothiazine, imidazopyridine and the like.

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Please substitute the following paragraph for the second paragraph on page 50 of the specification.

Page 50, paragraph 2 (AMENDED)

B4  
As a protecting group for a phenolic hydroxy group for W<sup>2</sup>, any groups may be used as long as they are general protecting groups for a phenolic hydroxy group. Specifically, for example, protecting groups described in Protective Groups in Organic Synthesis; John Wiley & U.S. Patent Application Serial No.: 09/807,599

B4 Sons, Inc. and the like are used and, preferably, methyl group, benzyl group and the like are used.

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Please substitute the following paragraph for the second paragraph on page 59 of the specification.

Page 59, paragraph 2 (AMENDED)

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B5 As a reagent for the present chlorosulfonylation reaction, for example, chlorosulfonic acid, sulfonyl chloride, sulfur dioxide-copper chloride and the like can be used. In particular, chlorosulfonic acid and the like are preferable. The amount of the chlorosulfonylating reagent used is about 1 equivalent to large excessive amount. The present reaction may be performed without a solvent or using a solvent. As a solvent when the reaction is performed using a solvent, for example, dichloromethane, 1,2-dichloroethane, carbon disulfide and the like are preferable. A reaction without a solvent is particularly preferable. The reaction temperature is preferably about -20°C to about 100°C.

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Please substitute the following paragraph for the sixth paragraph on page 66 of the specification.

Page 66, paragraph 6 (AMENDED)

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B6 As a reaction for converting the carbonyl group, for example, a Wittig reaction, a Horner-Wadsworth-Emmons reaction, a Peterson Olefination reaction, a Knoevenagel reaction and the like are mentioned, and as a reagent, reagents which are generally used in those reactions are used.

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Please substitute the following paragraph for the fifth paragraph on page 76 of the specification.

Page 76, paragraph 5 (AMENDED)

67 As the "substituent" in the "benzene ring optionally having a substituent" for ring A in the formula (IA), for example, used are (i) an optionally halogenated lower alkyl group, (ii) a halogen atom (e.g., fluorine, chlorine, bromine, iodine and the like), (iii) nitro group, (iv) cyano group, (v) hydroxy group, (vi) an optionally halogenated lower alkoxy group, (vii) amino group, (viii) a mono-lower alkylamino group (e.g., a mono-C<sub>1-6</sub> alkylamino group and the like such as methylamino, ethylamino, propylamino and the like), (ix) a di-lower alkylamino group (e.g., a di-C<sub>1-6</sub> alkylamino group and the like such as dimethylamino, diethylamino and the like), (x) a 5 to 7 membered cyclic amino group (e.g., pyrrolidino, piperidino, morpholino, thiomorpholino and the like) optionally having 1 to 3 hetero atoms selected from nitrogen atom, oxygen atom and sulfur atom and the like in addition to, for example, one nitrogen atom, (xi) a lower alkyl-carbonylamino group (e.g., a C<sub>1-6</sub> alkyl-carbonylamino group and the like such as acetylamino, propionylamino, butyrylamino and the like), (xii) aminocarbonyloxy group, (xiii) a mono-lower alkylamino-carbonyloxy group (e.g., a mono-C<sub>1-6</sub> alkylamino-carbonyloxy group and the like such as methylaminocarbonyloxy, ethylaminocarbonyloxy and the like), (xiv) a di-lower alkylamino-carbonyloxy group (e.g., a di-C<sub>1-6</sub> alkylamino-carbonyloxy group and the like such as dimethylaminocarbonyloxy, diethylaminocarbonyloxy and the like), (xv) a lower alkylsulfonylamino group (e.g., a C<sub>1-6</sub> alkylsulfonylamino group and the like such as methylsulfonylamino, ethylsulfonylamino, propylsulfonylamino and the like), (xvi) a lower alkoxy-carbonyl group (e.g., a C<sub>1-6</sub> alkoxy-carbonyl group and the like such as methoxycarbonyl, ethoxycarbonyl, propoxycarbonyl, isobutoxycarbonyl and the like), (xvii) carboxyl group, (xviii) a lower alkyl-carbonyl group (e.g., a C<sub>1-6</sub> alkyl-carbonyl group and the like such as methylcarbonyl, ethylcarbonyl, butylcarbonyl and the like), (xix) carbamoyl group, (xx) a mono-



lower alkyl-carbamoyl group (e.g., a mono-C<sub>1-6</sub> alkyl-carbamoyl group and the like such as methylcarbamoyl, ethylcarbamoyl, propylcarbamoyl, butylcarbamoyl and the like), (xxi) a di-lower alkyl-carbamoyl group (e.g., a di-C<sub>1-6</sub> alkyl-carbamoyl group and the like such as diethylcarbamoyl, dibutylcarbamoyl and the like), (xxii) a lower alkyl-thiocarbonyl group (e.g., a C<sub>1-6</sub> alkyl-thiocarbonyl group and the like such as methylthiocarbonyl, ethylthiocarbonyl, butylthiocarbonyl and the like), (xxiii) thiocarbamoyl group, (xxiv) a mono-lower alkyl-thiocarbamoyl group (e.g., a mono-C<sub>1-6</sub> alkyl-thiocarbamoyl and the like such as methylthiocarbamoyl, ethylthiocarbamoyl, propylthiocarbamoyl, butylthiocarbamoyl and the like), (xxv) a di-lower alkyl-thiocarbamoyl group (e.g., a di-C<sub>1-6</sub> alkyl-thiocarbamoyl group and the like such as diethylthiocarbamoyl, dibutylthiocarbamoyl and the like), (xxvi) phenyl group [the (xxvi) phenyl group may further have one to four substituents selected from, for example, a lower alkyl (a C<sub>1-6</sub> alkyl and the like such as methyl, ethyl, propyl, isopropyl, butyl, sec-butyl, tert-butyl, pentyl, hexyl and the like), a lower alkoxy (e.g., a C<sub>1-6</sub> alkoxy and the like such as methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy, tert-butoxy and the like), halogen (e.g., fluorine, chlorine, bromine, iodine and the like), hydroxy, amino, a mono-lower alkylamino (e.g., a mono-C<sub>1-6</sub> alkylamino and the like such as methylamino, ethylamino, propylamino and the like), a di-lower alkylamino (e.g., a di-C<sub>1-6</sub> alkylamino and the like such as dimethylamino, diethylamino and the like), nitro, a lower alkyl-carbonyl (e.g., a C<sub>1-6</sub> alkyl-carbonyl and the like such as methylcarbonyl, ethylcarbonyl, butylcarbonyl and the like) and the like].

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Please substitute the following paragraph for the sixth paragraph on page 90 of the specification.

Page 90, paragraph 6 (AMENDED)

β8 As Compound (IA) or a salt thereof, especially preferred are 3-[3-[1-(phenylmethyl)-4-piperidinyl]propyl]-7-(phenylmethyl)-6,7,8,9-tetrahydro-5H-isoxazolo[4,5-h][3]benzazepine; 3-[3-[1-[(2-chlorophenyl)methyl]-4-piperidinyl]propyl]-6-(phenylmethyl)-6,7,8,9-tetrahydro-5H-isoxazolo[5,4-h][2]benzazepine; or 3-[3-[1-(phenylmethyl)-4-piperidinyl]propyl]-6,7,8,9-tetrahydro-5H-isoxazolo[5,4-h][1]benzazepine or salts thereof are preferable.

Please substitute the following paragraph for the seventh paragraph on page 90 of the specification.

Page 90, paragraph 7 (AMENDED)

β9 As the salt of Compound (IA), physiologically acceptable salts are preferable and, physiologically acceptable acid addition salts are especially preferable. As such salts, used are, for example, salts with an inorganic acid (e.g., hydrochloric acid, phosphoric acid, hydrobromic acid, sulfuric acid), or salts with an organic acid (e.g., acetic acid, formic acid, propionic acid, fumaric acid, maleic acid, succinic acid, tartaric acid, citric acid, malic acid, oxalic acid, benzoic acid, methanesulfonic acid, benzenesulfonic acid).

Please substitute the following paragraph for the second paragraph on page 97 of the specification.

Page 97, paragraph 2 (AMENDED)

β10 The present ring-closing reaction can be performed in the presence of an acid or a base as necessary. As the acid, for example, hydrochloric acid, sulfuric acid, polyphosphoric acid and the like are used. In addition, an acid anhydride such as acetic anhydride, benzoic anhydride and

$\beta^{10}$  the like may be used. As the base, for example, sodium carbonate, potassium carbonate, sodium hydroxide, potassium hydroxide, rutidine, collidine, triethylamine and the like are used.

Please substitute the following paragraph for the third paragraph on page 125 of the specification.

Page 125, paragraph 3 (AMENDED)

$\beta^{11}$  According to the same procedures as those of Reference Example 8) using t-butyl 4-[[2-[[3-[(2-methylphenyl)methyl]-2,3,4,5-tetrahydro-1H-3-benzazepine-7-yl]oxy]ethyl]-1-piperidinecarboxylate (0.23 g) obtained in Reference Example 9), the title compound (0.185 g) was obtained as an oil.

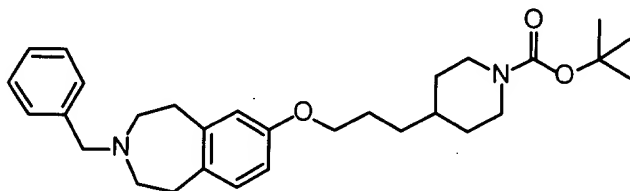
$^1\text{H NMR}(\text{CDCl}_3)$   $\delta$  1.10 - 1.33 (2H, m), 1.60 - 1.83 (3H, m), 1.92 - 2.08 (2H, m), 2.39 (3H, s), 2.50 - 2.77 (7H, m), 2.78 - 2.90 (4H, m), 3.02 - 3.17 (2H, m), 3.53 (2H, s), 3.97 (2H, t,  $J = 5.9$  Hz), 6.57 - 6.69 (2H, m), 6.98 (1H, d,  $J = 8.0$  Hz), 7.11 - 7.22 (3H, m), 7.25 - 7.37 (1H, m).

Please substitute the following paragraph for the fourth paragraph on page 125 of the specification.

Page 125, paragraph 4 (AMENDED)

Reference Example 11)

$\beta^{12}$  t-Butyl 4-[3-[[3-(phenylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine-7-yl]oxy]propyl]-1-piperidinecarboxylate



Please substitute the following paragraph for the fifth paragraph on page 125 of the specification.

Page 125, paragraph 5 (AMENDED)

$\beta 13$  According to the same procedures as those of Reference Example 7) using 7-hydroxy-3-phenylmethyl-2,3,4,5-tetrahydro-1H-3-benzazepine (0.11 g) obtained in Reference Example 4), the title compound (0.17 g) was obtained as a viscous oil.

$^1\text{H NMR}(\text{CDCl}_3)$   $\delta$  0.97 - 1.23 (2H, m), 1.30 - 1.48 (12H, m), 1.58 - 1.86 (4H, m), 2.54 - 2.77 (6H, m), 2.80 - 2.92 (4H, m), 3.63 (2H, s), 3.91 (2H, t,  $J = 6.4$  Hz), 3.98 - 4.16 (2H, m), 6.57 - 6.66 (2H, m), 6.97 (1H, d,  $J = 7.7$  Hz), 7.21 - 7.38 (5H, m).

Please substitute the following paragraph for the third paragraph on page 126 of the specification.

Page 126, paragraph 3 (AMENDED)

$\beta 14$  According to the same procedures as those of Reference Example 8) using t-butyl 4-[3-[[3-(phenylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine-7-yl]oxy]propyl]-1-piperidinecarboxylate (0.15 g) obtained in Reference Example 11), the title compound (0.11 g) was obtained as an oil.

$^1\text{H NMR}(\text{CDCl}_3)$   $\delta$  1.03 - 1.49 (5H, m), 1.63 - 1.99 (5H, m), 2.49 - 2.69 (6H, m), 2.78 - 2.93 (4H, m), 3.01 - 3.19 (2H, m), 3.63 (2H, s), 3.90 (2H, t,  $J = 6.2$  Hz), 6.56 - 6.68 (2H, m), 6.97 (1H, d,  $J = 7.7$  Hz), 7.20 - 7.40 (5H, m).

Please substitute the following paragraph for the fifth paragraph on page 126 of the specification.

Page 126, paragraph 5 (AMENDED)

According to the same procedures as those of Reference Example 7) using 8-hydroxy-2-[(2-methylphenyl)methyl]-2,3,4,5-tetrahydro-1H-2-benzazepine (3.94 g) obtained in Reference Example 2), the title compound (4.81 g) was obtained as a viscous oil.

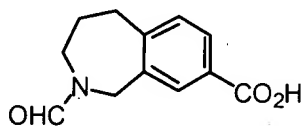
<sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 1.05-1.30 (2H, m), 1.46 (9H, s), 1.60-1.80 (7H, m), 2.28 (3H, s), 2.60-2.80 (2H, m), 2.86 (2H, t-like, J = 5.4 Hz), 3.07 (2H, t-like, J = 5.2 Hz), 3.49 (2H, s), 3.81 (2H, s), 3.95 (2H, t, J = 5.8 Hz), 4.00-4.20 (2H, m), 6.54 (1H, d, J = 2.6 Hz), 6.67 (1H, dd, J = 8.0, 2.6 Hz), 7.05 (1H, d, J = 8.0 Hz), 7.10-7.30 (4H, m).

Please substitute the following paragraph for the second paragraph on page 141 of the specification.

Page 141, paragraph 2 (AMENDED)

Reference Example 37)

2-Formyl-2,3,4,5-tetrahydro-1H-2-benzazepine-8-carboxylic acid

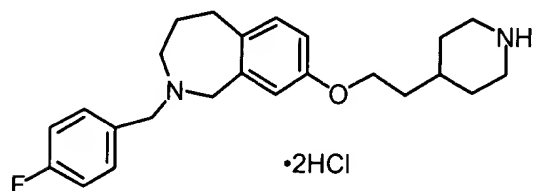


Please substitute the following paragraph for the second paragraph on page 143 of the specification.

Page 143, paragraph 2 (AMENDED)

Reference Example 39)

2-[(4-Fluorophenyl)methyl]-8-[2-(4-piperidinyloxy)-2,3,4,5-tetrahydro-1H-benzazepine dihydrochloride



Please substitute the following paragraph for the second paragraph on page 153 of the specification.

Page 153, paragraph 2 (AMENDED)

According to the same procedures as those of Reference Example 21) using t-butyl 4-[2-[[2-(trifluoroacetyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-8-yl]sulfonyl]ethyl]-1-piperidinecarboxylate obtained in Reference Example 57), the title compound was obtained as a colorless oil.

B18

<sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 1.00-1.30 (2H, m), 1.40-1.80 (19H, m), 2.30-2.45 (1H, br), 2.55-2.80 (2H, m), 2.85-3.00 (2H, m), 3.19 (1H, t, J = 5.2Hz), 3.67 (1H, t, J = 6.4Hz), 3.90 (1H, s), 4.00-4.20 (2H, m), 7.00-7.30 (3H, m).

Please substitute the following paragraph for the second paragraph on page 194 of the specification.

Page 194, paragraph 2 (AMENDED)

Elemental analysis for C<sub>32</sub>H<sub>40</sub>N<sub>4</sub>

B19

Calcd.: C, 79.96; H, 8.39; N, 11.66

Found: C, 79.51; H, 8.37; N, 11.46

Example 54)

2-[3-[1-(Phenylmethyl)-4-piperidinyl]-1-[3-(phenylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine-7-yl]propylidene]malononitrile dihydrochloride



Please substitute the following paragraph for the fourth paragraph on page 196 of the specification.

Page 196, paragraph 4 (AMENDED)

b20

2) A solution of ethyl 3-[[4-[3-[[2-[(4-fluorophenyl)methyl]-2,3,4,5-tetrahydro-1H-2-benzazepine-8-yl]oxy]propyl]-1-piperidinyl]methyl]-1-benzenecarboxyimide (500 mg, 0.9 mmol) obtained in 1) and 40% methylamine (methanol solution, 10 ml) in methanol (10 ml) was heated at 120°C for 30 minutes in a stainless steel pressure-resistant tube. The solvent was distilled off under reduced pressure, the residue was dissolved in ethyl acetate-a 1N aqueous solution of sodium hydroxide, and extracted with ethyl acetate. After the extract was washed with an aqueous saturated solution of sodium chloride and dried with anhydrous potassium carbonate, the solvent was distilled off under reduced pressure. The resulting residue was purified by column chromatography (developing solvent: ethyl acetate-methanol-NH<sub>4</sub>OH=1:1:0.03) using basic active alumina to obtain the title compound (512 mg) as colorless amorphous powders.

<sup>1</sup>H NMR (CDCl<sub>3</sub>, free base) δ 1.15-1.45 (5H, m), 1.55-2.05 (9H, m), 2.75-2.90 (4H, m), 2.98 (3H, s), 3.08 (2H, t-like, J = 5.2 Hz), 3.49 (4H, s), 3.80 (2H, s), 3.87 (2H, t, J = 6.4 Hz), 5.60-6.20 (1H, br), 6.47 (1H, d, J = 2.6 Hz), 6.66 (1H, dd, J = 8.0, 2.6 Hz), 6.90-7.05 (3H, m), 7.20-7.50 (5H, m), 7.53 (1H, s).

Please substitute the following paragraph for the second paragraph on page 198 of the specification.

Page 198, paragraph 2 (AMENDED)

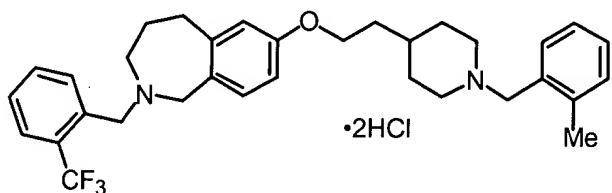
Elemental analysis for  $C_{35}H_{43}FN_4O$

Calcd.: C, 75.78; H, 7.81; N, 10.10

Found: C, 75.33; H, 7.59; N, 10.05

Example 58)

7-[2-[1-[(2-Methylphenyl)methyl]-4-piperidinyl]ethoxy]-2-[[2-(trifluoromethyl)phenyl]methyl]-2,3,4,5-tetrahydro-1H-2-benzazepine dihydrochloride

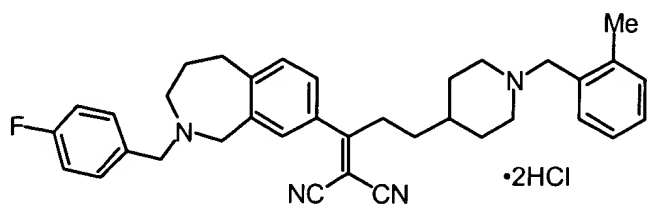


Please substitute the following paragraph for the second paragraph on page 199 of the specification.

Page 199, paragraph 2 (AMENDED)

Example 60)

2-[1-[2-[(4-Fluorophenyl)methyl]-2,3,4,5-tetrahydro-1H-2-benzazepine-8-yl]-3-[1-[(2-methylphenyl)methyl]-4-piperidinyl]propylidene]malononitrile dihydrochloride





Please substitute the following paragraph for the fifth paragraph on page 228 of the specification.

Page 228, paragraph 5 (AMENDED)

B<sup>23</sup> According to the same procedures as those of Example 46) using 2-(trifluoroacetyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-8-sulfonyl chloride, the title compound was obtained as colorless crystals having a melting point of 131-132°C.

<sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 1.20-2.20 (9H, m), 2.70-3.00 (4H, m), 3.10-3.15 (2H, m), 3.57 (2H, s), 3.80-4.00 (2H, m), 4.65 and 4.74 (2H, s and s), 4.80-4.95 (1H, br), 7.25-7.40 (6H, m), 7.72 (1H, dd, J = 8.0, 1.8Hz), 7.87 (1H, d, J = 1.8Hz).

Please substitute the following paragraph for the third paragraph on page 229 of the specification.

Page 229, paragraph 3 (AMENDED)

B<sup>24</sup> According to the same procedures as those of Reference Example 21) using N-[[1-(phenylmethyl)-4-piperidinyl]methyl]-2-(trifluoroacetyl)-2,3,4,5-tetrahydro-1H-2-benzazepine-8-sulfonamide obtained in Example 110), the title compound was obtained as colorless crystals having a melting point of 160-162°C.

<sup>1</sup>H NMR (CDCl<sub>3</sub>) δ 1.10-2.00 (10H, m), 2.75-2.95 (4H, m), 2.95-3.01 (2H, m), 3.24 (2H, t-like, J = 5.2Hz), 3.49 (2H, s), 3.99 (2H, s), 4.40-4.65 (1H, br), 7.20-7.35 (7H, m), 7.55-7.65 (1H, m).

Please substitute the following paragraph for the second paragraph on page 239 of the specification.

Page 239, paragraph 2 (AMENDED)

B<sup>25</sup> Preparation Example 1

(1) 7-[2-[1-(phenylmethyl)-4-piperidinyl]ethoxy]-3-(phenylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine dihydrochloride (compound of Example 6)

β25

	1 g
(2) Lactose	197 g
(3) Corn starch	50 g
(4) Magnesium stearate	2 g

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Please substitute the following paragraph for the fourth paragraph on page 239 of the specification.

Page 239, paragraph 4 (AMENDED)

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Preparation Example 2

(1) 7-[2-[1-(phenylmethyl)-4-piperidinyl]ethoxy]-3-(phenylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine dihydrochloride (compound of Example 6)

β26

	2 g
(2) Lactose	197 g
(3) Corn starch	50 g
(4) Magnesium stearate	2 g

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Please substitute the following paragraph for the first paragraph on page 240 of the specification.

Page 240, paragraph 1 (AMENDED)

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Preparation Example 3

β27

(1) 7-[2-[1-(phenylmethyl)-4-piperidinyl]ethoxy]-3-(phenylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine dihydrochloride (compound of Example 6)

25 g

(2) Lactose	80 g
(3) Corn starch	42 g
(4) Talc powder	3 g
(5) Magnesium stearate	0.5 g

Please substitute the following paragraph for the fourth paragraph on page 240 of the specification.

Page 240, paragraph 4 (AMENDED)

#### Preparation Example 4

(1) 7-[2-[1-(phenylmethyl)-4-piperidinyl]ethoxy]-3-(phenylmethyl)-2,3,4,5-tetrahydro-1H-3-benzazepine dihydrochloride (compound of Example 6)

	5.0 mg
(2) Lactose	60.0 mg
(3) Corn starch	35.0 mg
(4) Gelatin	3.0 mg
(5) Magnesium stearate	2.0 mg

Please substitute the following paragraph for the fourth paragraph on page 241 of the specification.

Page 241, paragraph 4 (AMENDED)

β29 2) Lithium aluminum hydride (1.4 g, 36.8 mmol) was added to a solution of 8-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine-2-one (3.5 g, 18.5 mmol) obtained in 1) in tetrahydrofuran (300 ml) portionwise at room temperature. After the mixture was heated at reflux for 4 hours and allowed to cool, water (2.8 ml) then a 10% aqueous solution of sodium hydroxide (2.24 ml) were added dropwise. After stirring at room temperature for 14 hours, the resulting precipitates were removed by filtration, and the solvent was distilled off under reduced pressure to obtain the crude product of 7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine (3.0 g) as a viscous oil.

Please substitute the following paragraph for the fifth paragraph on page 241 of the specification.

Page 241, paragraph 5 (AMENDED)

β30 3) Trifluoroacetic acid anhydride (3.3 g, 15.7 mmol) was added dropwise to a solution of 7-methoxy-2,3,4,5-tetrahydro-1H-3-benzazepine (2.5 g, 14.1 mmol) obtained in 2) in tetrahydrofuran (10 ml). After the mixture was heated to 70-75°C for 1 hour, the solvent was distilled off under reduced pressure. The residue was dissolved in water-ethyl acetate and extracted with ethyl acetate. The extract was washed with an aqueous saturated solution of sodium chloride and dried with anhydrous magnesium sulfate. The solvent was distilled off under reduced pressure to obtain the residue, which was purified by silica gel column chromatography (developing solvent: hexane-ethyl acetate=5:1) to obtain the title compound (2.2 g) as an oil.

<sup>1</sup>H NMR(CDCl<sub>3</sub>) δ 2.87-2.99(4H, m), 3.62-3.84(7H, m), 6.66-6.76(2H, m), 7.02-7.13(1H, m).

Please substitute the following paragraph for the second paragraph on page 244 of the specification.

Page 244, paragraph 2 (AMENDED)

b<sup>31</sup>  
1) A mixture of 4-(1-acetyl-4-piperidinyl)-1-[7-hydroxy-3-(trifluoroacetyl)-2,3,4,5-tetrahydro-1H-3-benzazepine-8-yl]-1-butanone (0.35 g, 0.77 mmol), hydroxylamine hydrochloride (0.16 g, 2.3 mmol) and sodium acetate (0.19 g, 2.31 mmol) was heated in a mixed solution of water-ethanol (2/8 ml) at 80°C for 4 hours. The solvent was distilled off under reduced pressure to obtain the residue, which was dissolved in water-ethyl acetate and extracted with ethyl acetate. The extract was washed with an aqueous saturated solution of sodium chloride and dried with anhydrous magnesium sulfate. The solvent was distilled off under reduced pressure to obtain the residue, which was purified by silica gel column chromatography (developing solvent: ethyl acetate) to obtain a solid (about 0.36 g) having a melting point of 183-189°C.

Please substitute the following paragraph for the third paragraph on page 245 of the specification.

Page 245, paragraph 3 (AMENDED)

b<sup>32</sup>  
1) An aqueous solution (2 ml) of potassium carbonate (50 mg) was added to a solution of 3-[3-(1-acetyl-4-piperidinyl)propyl]-7-(trifluoroacetyl)-6,7,8,9-tetrahydro-5H-isoxazolo[4,5-h][3]benzazepine (70 mg, 0.155 mmol) obtained in Example 1A) in methanol (10 ml). After the mixture was stirred at room temperature for 2 hours, the solvent was distilled off under reduced pressure. The resulting residue was dissolved in water-ethyl acetate and extracted with ethyl acetate. The extract was washed with an aqueous saturated solution of sodium chloride and

β<sup>32</sup> dried with anhydrous magnesium sulfate. The solvent was distilled off under reduced pressure to obtain 3-[3-(1-acetyl-4-piperidinyl)propyl]-6,7,8,9-tetrahydro-5H-isoxazolo[4,5-h][3]benzazepine (52 mg) as an oil.

<sup>1</sup>H NMR(CDCl<sub>3</sub>) δ 0.97-1.98(9H, m), 2.07(3H, s), 2.42-2.60(2H, m), 2.83-3.13(11H, m), 3.72-3.83(1H, m), 4.51-4.65(1H, m), 7.30(1H, s), 7.33(1H,s).

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Please substitute the following paragraph for the second paragraph on page 246 of the specification.

Page 246, paragraph 2 (AMENDED)

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β<sup>33</sup> 2) A mixture of 3-[3-(1-acetyl-4-piperidinyl)propyl]-6,7,8,9-tetrahydro-5H-isoxazolo[4,5-h][3]benzazepine (52 mg) obtained in 1) and concentrated hydrochloric acid (4 ml) was heated at reflux for 5 hours. After allowing to cool, the solution was made alkaline by addition of an 8N aqueous solution of sodium hydroxide and extracted with ethyl acetate. The extract was washed with an aqueous saturated solution of sodium chloride and dried with anhydrous magnesium sulfate. The solvent was distilled off under reduced pressure to obtain 3-[3-(4-piperidinyl)propyl]-6,7,8,9-tetrahydro-5H-isoxazolo[4,5-h][3]benzazepine (40 mg) as an oil. This oil became solid having a melting point of 186-190°C upon allowing to stand at room temperature.

<sup>1</sup>H NMR(CDCl<sub>3</sub>) δ 0.97-1.53(7H, m), 1.62-1.96(4H, m), 2.12-2.42(2H, br), 2.48-2.67(2H, m), 2.82-3.15(10H, m), 7.29(1H, s), 7.33(1H,s).

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